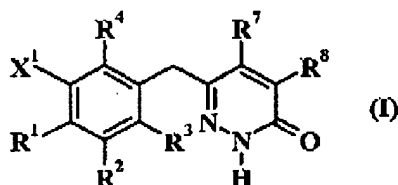


CURRENT LISTING OF CLAIMS

1. (currently amended) A compound according to formula I



wherein;

X^1 is selected from the group consisting of R^5O , $R^5S(O)_n$, R^5CH_2 , R^5CH_2O , $R^5CH_2S(O)_m$, R^5OCH_2 , $R^5S(O)_nCH_2$ and NR^5R^6 ;

R^1 and R^2 are

- (i) each independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} sulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; or,
- (ii) taken together are $-CH=CH-CH=CH-$, or
- (iii) taken together along with the carbons to which they are attached form a five- or six-membered heteroaromatic or heterocyclic ring with a one or two heteroatoms independently selected from the group consisting of O, S and NH;

R^3 is selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkylthio, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano;

R^4 is selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano;

R^5 is selected from the group consisting of alkyl, haloalkyl, cycloalkyl, phenyl, naphthyl, pyridinyl, pyridine N-oxide, pyridine N-oxide, indole, indole N-oxide, quinoline, quinoline N-oxide, pyrimidinyl, pyrazinyl and pyrrolyl; wherein,

said alkyl and said cycloalkyl are optionally substituted with one or two substituents

independently selected from the group consisting of alkyl, hydroxy, alkoxy, thiol,

alkylthio, halogen, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, and dialkylamino; and,

said phenyl, said naphthyl, said pyridinyl, said pyridine N-oxide, said indole, said indole N-oxide, said quinoline, said quinoline N-oxide, said pyrimidinyl, said pyrazinyl and said

pyrrolyl groups are optionally substituted with one to three substituents independently selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ alkenyl, C₁₋₆ haloalkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ sulfonyl, C₁₋₆ haloalkoxy, C₁₋₆ haloalkylthio, hydroxy, halogen, amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, aminoacyl, acyl, C₁₋₆ alkoxycarbonyl, carbamoyl, C₁₋₆ N-alkylcarbamoyl, C₁₋₆ N,N-dialkylcarbamoyl, nitro and cyano;

R⁶ is hydrogen, C₁₋₆ alkyl, or acyl;

R⁷ and R⁸ [(i)] taken independently are selected from the group consisting of hydrogen, amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, amino-C₁₋₃ alkyl, C₁₋₃ alkylamino-C₁₋₃ alkyl, C₁₋₃ dialkylamino-C₁₋₃ alkyl or C₁₋₆ alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, thiol, alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ sulfonyl and halogen, N-morpholinyl; or, (ii) ~~R⁷ and R⁸ taken together are (CH₂)₄₋₇~~

n is an integer from 0 to 2; and,

hydrates, solvates, clathrates and acid addition salts thereof.

2. (original) A compound according to claim 1 wherein

R⁵ is selected from the group consisting of alkyl, haloalkyl, cycloalkyl, phenyl, naphthyl, pyridinyl, pyrimidinyl, pyrazinyl and pyrrolyl; and,

said alkyl and said cycloalkyl are optionally substituted with one or two substituents independently selected from the group consisting of alkyl, hydroxy, alkoxy, thiol, alkylthio, halogen, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, and dialkylamino; and,

said phenyl, said naphthyl, said pyridinyl, said pyrimidinyl, said pyrazinyl and said pyrrolyl groups are optionally substituted with one to three substituents independently selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ sulfonyl, C₁₋₆ haloalkoxy, C₁₋₆ haloalkylthio, halogen, alkylamino, dialkylamino, aminoacyl, cyano, and acyl.

3. (original) A compound according to claim 2 wherein:

X¹ is OR⁵ or SR⁵;

R³ is hydrogen or fluoro;

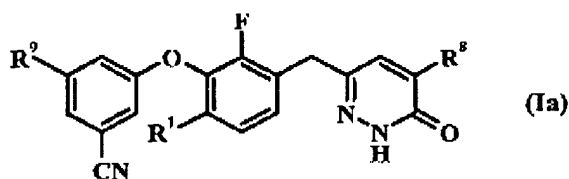
R⁴ is selected from the group consisting of hydrogen, chloro, fluoro and methyl;

R⁵ is optionally substituted phenyl; and,

R⁷ and R⁸ are selected from the group consisting of hydrogen, amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, amino-C₁₋₃ alkyl, C₁₋₃ alkylamino-C₁₋₃ alkyl, C₁₋₃ dialkylamino-C₁₋₃ alkyl and C₁₋₆ alkyl optionally substituted with hydroxy, alkoxy, thiol, alkylthio, halogen.

4. (original) A compound according to claim 3 wherein R¹ is methyl, ethyl, trifluoromethyl or halogen.
5. (original) A compound according to claim 4 wherein R⁵ is monosubstituted phenyl.
6. (original) A compound according to claim 4 wherein R⁵ is 2,5-disubstituted phenyl.
7. (original) A compound according to claim 4 wherein R⁵ is 3,5-disubstituted phenyl.
8. (original) A compound according to claim 4 wherein R⁵ is 2,4-disubstituted phenyl.
9. (original) A compound according to claim 4 wherein R⁵ is 2,6-disubstituted phenyl.
10. (original) A compound according to claim 2 wherein:
 - X¹ is -OR⁵ or -SR⁵;
 - R¹ and R² are independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ sulfonyl, C₁₋₆ haloalkoxy, C₁₋₆ haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; and
 - R³ is hydrogen or fluorine.
11. (original) A compound according to claim 10 wherein:
 - X¹ is OR⁵;
 - R¹ is methyl, ethyl, trifluoromethyl or halogen;
 - R² and R⁴ are hydrogen, fluoro, chloro, methyl or ethyl;
 - R³ is hydrogen or fluoro;
 - R⁷ is hydrogen, methyl or ethyl; and,
 - R⁸ is selected from the group consisting of hydrogen, amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, amino-C₁₋₃ alkyl, C₁₋₃ alkylamino-C₁₋₃ alkyl, C₁₋₃ dialkylamino-C₁₋₃ alkyl and C₁₋₆ alkyl optionally substituted with hydroxy, alkoxy, thiol, alkylthio, halogen.

12. (original) A compound according to claim 11 wherein R^5 is monosubstituted phenyl.
13. (original) A compound according to claim 12 wherein R^5 is a monosubstituted phenyl and the substituent is selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} alkenyl, C_{3-8} cycloalkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio and C_{1-6} haloalkoxy.
14. (original) A compound according to claim 13 wherein R^1 is selected from the group consisting of halogen, methyl, ethyl, R^3 and R^7 are hydrogen, R^5 is a monosubstituted phenyl and the substituent is selected from the group consisting of halogen, cyano, C_{1-6} alkyl and C_{1-6} haloalkyl and R^8 is selected from the group consisting of hydrogen, methyl and ethyl.
15. (original) A compound according to claim 11 wherein R^5 is 2,5-disubstituted phenyl.
16. (original) A compound according to claim 15 wherein R^5 is a 2,5-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} alkenyl, C_{3-8} cycloalkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio and C_{1-6} haloalkoxy.
17. (original) A compound according to claim 16 wherein R^1 is selected from the group consisting of halogen, methyl, ethyl, R^3 and R^7 are hydrogen, R^5 is a 2,5-disubstituted phenyl and the substituent is selected from the group consisting of halogen, cyano, C_{1-6} alkyl and C_{1-6} haloalkyl and R^8 is selected from the group consisting of hydrogen, methyl and ethyl.
18. (original) A compound according to claim 11 wherein R^5 is 3,5-disubstituted phenyl.
19. (original) A compound according to claim 18 wherein R^5 is a 3,5-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} alkenyl, C_{3-8} cycloalkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio and C_{1-6} haloalkoxy.
20. (original) A compound according to claim 19 wherein R^1 is selected from the group consisting of halogen, methyl, ethyl, R^3 and R^7 are hydrogen, R^5 is a 3,5-disubstituted phenyl and the substituent is selected from the group consisting of halogen, cyano, C_{1-6} alkyl and C_{1-6} haloalkyl and R^8 is selected from the group consisting of hydrogen, methyl and ethyl.
21. (original) A compound according to claim 20 with formula **Ia** wherein:



R^1 is selected from the group consisting of fluoro, chloro, bromo and methyl;

R^8 is selected from the group consisting of hydrogen, methyl and ethyl;

R^9 is selected from the group consisting of C_{1-6} alkyl, C_{3-8} cycloalkyl, C_{1-6} haloalkyl, halogen and cyano.

22. (original) A compound according to claim 11 wherein R^5 is 2,4-disubstituted phenyl.

23. (original) A compound according to claim 22 wherein R^5 is a 2,4-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} alkenyl, C_{3-8} cycloalkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio and C_{1-6} haloalkoxy.

24. (original) A compound according to claim 23 wherein R^1 is selected from the group consisting of halogen, methyl, ethyl, R^3 and R^7 are hydrogen, R^5 is a 2,4-disubstituted phenyl and the substituent is selected from the group consisting of halogen, cyano, C_{1-6} alkyl and C_{1-6} haloalkyl and R^8 is selected from the group consisting of hydrogen, methyl and ethyl.

25. (original) A compound according to claim 11 wherein R^5 is 2,6-disubstituted phenyl.

26. (original) A compound according to claim 25 wherein R^5 is a 2,6-disubstituted phenyl and the substituents are independently selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} alkenyl, C_{3-8} cycloalkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio and C_{1-6} haloalkoxy.

27. (original) A compound according to claim 26 wherein R^1 is selected from the group consisting of halogen, methyl, ethyl, R^3 and R^7 are hydrogen, R^5 is a 2,6-disubstituted phenyl and the substituent is selected from the group consisting of halogen, cyano, C_{1-6} alkyl and C_{1-6} haloalkyl and R^8 is selected from the group consisting of hydrogen, methyl and ethyl.

28. (original) A compound according to claim 11 wherein R^5 is a 2,3,5-trisubstituted phenyl.

29. (original) A compound according to claim 1 wherein:

X^1 is OR^5 or SR^5 ;

R^3 and R^4 are selected from the group consisting of hydrogen, chloro, fluoro, and methyl;

R^5 is optionally substituted pyridinyl, pyridine N-oxide, indole, indole N-oxide, quinoline, quinoline N-oxide, pyrimidinyl, pyrazinyl and pyrrolyl.

30. (original) A compound according to claim 1 wherein R^1 and R^2 along with the carbon atoms to which they are attached form a phenyl, dihydropyran, dihydrofuran or furan ring.

31. (original) A compound according to claim 30 wherein:

X^1 is OR^5 or SR^5 ;

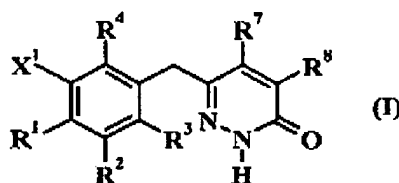
R^3 , and R^7 are hydrogen;

R^4 is hydrogen or fluoro;

R^8 is hydrogen or methyl; and,

R^5 is optionally substituted phenyl.

32. (currently amended) A method for treating an HIV infection, or preventing an HIV infection, or treating AIDS or ARC, comprising administering to a host in need thereof a therapeutically effective amount of a compound of formula I



wherein,

X^1 is selected from the group consisting of R^5O , R^5S , R^5CH_2 , R^5CH_2O , $R^5CH_2S(O)_n$, R^5OCH_2 , $R^5S(O)_nCH_2$, NR^5R^6 and $R^5C(=O)$;

R^1 and R^2 are

- (i) each independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} sulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; or,
- (ii) taken together are $-CH=CH-CH=CH-$, or

(iii) taken together along with the carbons to which they are attached form a five- or six-membered heteroaromatic or heterocyclic ring with a one or two heteroatoms independently selected from the group consisting of O, S and NH;

R³ and R⁴ are each independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ haloalkoxy, C₁₋₆ haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano;

R⁵ is selected from the group consisting of alkyl, haloalkyl, cycloalkyl, phenyl, naphthyl, pyridinyl, pyrimidinyl, pyrazinyl and pyrrolyl; wherein,

said alkyl and said cycloalkyl are optionally substituted with one or two substituents independently selected from the group consisting of alkyl, hydroxy, alkoxy, thiol, alkylthio, halogen, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, and dialkylamino; and,

said phenyl, said naphthyl, said pyridinyl, said pyrimidinyl, said pyrazinyl and said pyrrolyl groups are optionally substituted with one to three substituents independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ sulfonyl, C₁₋₆ haloalkoxy, C₁₋₆ haloalkylthio, hydroxy, halogen, amino, alkylamino, dialkylamino, aminoacyl, acyl, alkoxycarbonyl, carbamoyl, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, nitro and cyano;

R⁶ is hydrogen, C₁₋₆ alkyl, or acyl;

R⁷ and R⁸ [(i)] taken independently are selected from the group consisting of hydrogen, , amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, amino-C₁₋₃ alkyl, C₁₋₃ alkylamino-C₁₋₃ alkyl, C₁₋₃ dialkylamino-C₁₋₃ alkyl or C₁₋₆ alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, thiol, alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ sulfonyl and halogen, N-morpholinyl; or, (ii) R⁷ and R⁸ taken together are ~~CH=CH-CH=CH-~~ or ~~(CH₂)₄-~~;

n is an integer from 0 to 2; and,

hydrates, solvates, clathrates and acid addition salts thereof.

33. (original) A method according to claim 32 wherein:

X¹ is OR⁵;

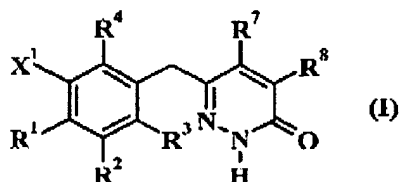
R¹ is methyl, ethyl, trifluoromethyl or halogen;

R² and R⁴ are independently hydrogen, fluoro, chloro, methyl or ethyl;

R³ is hydrogen or fluoro; and,

R⁵ is optionally substituted phenyl;

40. (currently amended) A pharmaceutical composition comprising a therapeutically effective quantity of a compound of formula I



wherein:

X^1 is selected from the group consisting of R^5O , $R^5S(O)_n$, R^5CH_2 , R^5CH_2O , $R^5CH_2S(O)_n$, R^5OCH_2 , $R^5S(O)_nCH_2$ and NR^5R^6 ;

R^1 and R^2 are

(i) each independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} sulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano; or,

(ii) taken together are $-CH=CH-CH=CH-$, or

(iii) taken together along with the carbons to which they are attached form a five- or six-membered heteroaromatic or heterocyclic ring with a one or two heteroatoms independently selected from the group consisting of O, S and NH;

R^3 is selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkylthio, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano;

R^4 is selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, halogen, amino, alkylamino, dialkylamino, aminoacyl, nitro and cyano;

R^5 is selected from the group consisting of alkyl, haloalkyl, cycloalkyl, phenyl, naphthyl, pyridinyl, pyrimidinyl, pyrazinyl and pyrrolyl; wherein,

said alkyl and said cycloalkyl are optionally substituted with one or two substituents independently selected from the group consisting of alkyl, hydroxy, alkoxy, thiol, alkylthio, halogen, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, and dialkylamino; and,

said phenyl, said naphthyl, said pyridinyl, said pyrimidinyl, said pyrazinyl and said pyrrolyl groups are optionally substituted with one to three substituents independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} sulfonyl, C_{1-6} haloalkoxy, C_{1-6} haloalkylthio, hydroxy, halogen, amino,

alkylamino, dialkylamino, aminoacyl, acyl, alkoxy carbonyl, carbamoyl, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, nitro and cyano;

R⁶ is hydrogen, C₁₋₆ alkyl, or acyl;

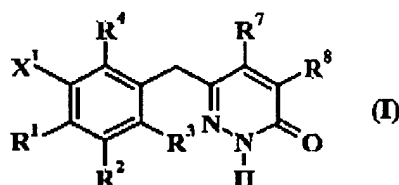
R⁷ and R⁸ [(i)] taken independently are selected from the group consisting of hydrogen amino, C₁₋₆ alkylamino, C₁₋₆ dialkylamino, amino-C₁₋₃ alkyl, C₁₋₃ alkylamino-C₁₋₃ alkyl, C₁₋₃ dialkylamino-C₁₋₃ alkyl or C₁₋₆ alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, alkoxy, thiol, alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ sulfonyl and halogen, N-morpholinyl; or, (ii) R⁷ and R⁸ taken together are ~~(CH₂)_n~~;

n is an integer from 0 to 2; and,

hydrates, solvates, clathrates and acid addition salts thereof,

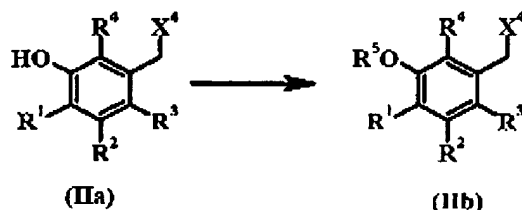
in admixture with at least one pharmaceutically acceptable carrier or diluent sufficient upon administration in a single or multiple dose regimen for treating diseases mediated by human immunodeficiency virus inhibit HIV.

41. (original) A process for preparing a compound of formula I, wherein X¹ is OR⁵ or SR⁵ and R⁵ is an optionally substituted aryl, alkyl or aralkyl moiety and R¹-R⁴, R⁷ and R⁸ are as defined hereinabove,

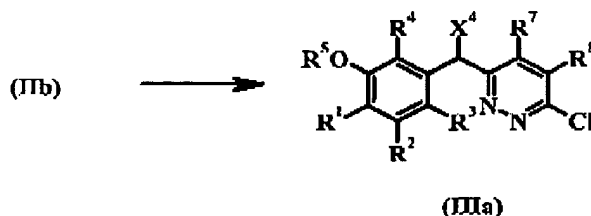


comprising the steps of:

- (i) coupling a aryl compound of formula IIa wherein X⁴ is hydrogen, alkoxy carbonyl or CN with (a) an arylboronic acid or an aryl halide, or (b) an alcohol, alkyl halide or aralkyl halide to produce an ether of formula IIb; and, if X⁴ is hydrogen,
- (ii) (a) brominating the methyl group with N-bromosuccinimide and (b) displacing the bromide (X⁴ = Br) with sodium cyanide to produce the corresponding nitrile (X⁴ = CN);



(iii) treating a compound of formula **IIb** with base and condensing the conjugate base of **IIb** (X^4 = alkoxy carbonyl or CN) with a pyrazine compound to produce a compound of formula **IIIa**;



(iv) cleaving the alkoxy carbonyl or nitrile by acidic or basic hydrolysis, decarboxylating the resulting carboxylic acid and hydrolysing the chloropyrazine to a pyridazinone of formula **I**.

42. (original) A process according to claim 41 wherein said ether is formed by coupling an arylboronic acid and **IIa** in the presence of a copper (II) salt.
43. (original) A process according to claim 41 wherein said ether is formed by coupling an aryl halide and **IIa** in the presence of a copper (I) salt.
44. (original) A process according to claim 41 wherein said ether is formed by coupling an alkyl halide, an aralkyl halide or aryl halide and said aryl halide being substituted with electronegative groups and **IIa**, said coupling being base-catalyzed.
45. (original) A process according to claim 41 wherein said ether is formed by coupling an alcohol and **IIa** said coupling is catalyzed by a dialkylazodicarboxylate and triaryl or trialkylphosphine.
46. (original) A process according to claim 41 wherein said base is sodium hydride and said pyrazine compound is a 3,6-dihalopyrazine or a 3-halo-6-alkoxypyrazine.
47. (original) A process according to claim 41 wherein said base is a sodium alkoxide and said pyrazine derivative is a 3,6-dihalopyrazine or a 3-halo-6-alkoxypyrazine.
48. (original) A process according to claim 41 wherein said acidic hydrolysis conditions comprise a carboxylic acid and an aqueous hydrohalic acid.

49. (original) A process according to claim 48 where said carboxylic acid is acetic acid and said hydrohalic acid is hydrochloric acid.

50. (original) A process according to claim 49 said process further comprising sodium acetate.

51. (original) A process according to claim 41 wherein said alkoxycarbonyl is saponified with base and said chloropyrazine is hydrolyzed by a carboxylic acid and an aqueous hydrohalic acid

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